(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 27 January 2005 (27.01.2005)

PCT

(10) International Publication Number WO 2005/007100 A2

(51) International Patent Classification⁷:

A61K

(21) International Application Number:

PCT/US2004/021855

(22) International Filing Date:

9 July 2004 (09.07.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/486,236

11 July 2003 (11.07.2003) US

- (71) Applicant (for all designated States except US): MACROCHEM CORPORATION [US/US]; 110 Hartwell Avenue, Lexington, MA 02421-3134 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): GUO, Chunfeng [CN/US]; 4 Hawk Drive, Salem, NH 03079 (US). CHAN, Thomas [CN/US]; 7 Stoney Brook Road, Hopkinton, MA 01748 (US).
- (74) Agent: STEINBERG, Richard, A.; Mayer Brown Rowe & Maw LLP, 1909 K Street, N.W., Washington, D.C. 20006

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD. MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

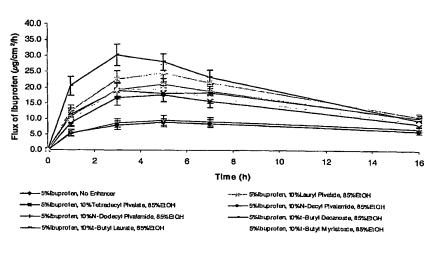
Published:

without international search report and to be republished upon receipt of that report

[Continued on next page]

(54) Title: PHARMACEUTICAL COMPOSITIONS FOR TOPICAL APPLICATION

Mean ± S.E. (n=12)





(57) Abstract: Compounds of Formula I are useful as skin penetration enhancing agents: (I) wherein: R represents a linear, saturated or unsaturated, substituted or unsubstituted hydrocarbyl radical; and X represents a -(CO)O-, -O(CO)-, C=O, CONH, O, NHCONH, S, or S=O radical. Compositions and methods using these compounds are also described.







For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 27 January 2005 (27.01.2005)

PCT

(10) International Publication Number WO 2005/007100 A3

A61F 13/00

(21) International Application Number:

(51) International Patent Classification7:

PCT/US2004/021855

(22) International Filing Date: 9 July 2004 (09.07.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/486,236

11 July 2003 (11.07.2003) US

(71) Applicant (for all designated States except CORPORATION [US/US]; MACROCHEM Hartwell Avenue, Lexington, MA 02421-3134 (US).

(72) Inventors; and

- (75) Inventors/Applicants (for US only): GUO, Chunfeng [CN/US]; 4 Hawk Drive, Salem, NH 03079 (US). CHAN, Thomas [CN/US]; 7 Stoney Brook Road, Hopkinton, MA 01748 (US).
- (74) Agent: STEINBERG, Richard, A.; Mayer Brown Rowe & Maw LLP, 1909 K Street, N.W., Washington, D.C. 20006 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 6 May 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



(54) Title: PHARMACEUTICAL COMPOSITIONS FOR TOPICAL APPLICATION

(57) Abstract: Compounds of Formula I are useful as skin penetration enhancing agents: (I) wherein: R represents a linear, saturated or unsaturated, substituted or unsubstituted hydrocarbyl radical; and X represents a -(CO)O-, -O(CO)-, C=O, CONH, O, NHCONH, S, or S=O radical. Compositions and methods using these compounds are also described.